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NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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COST IN U.S. DOLLARS

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ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

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=>
Uploading C:\Program Files\Stnexp\Queries\10649532.str

chain nodes : 7 8 9 10 23 24 25 26 27 28 29 30 ring nodes : 1 2 3 4 5 6 11 12 13 14 15 16 17 18 19 20 21 22 chain bonds : 1-14 2-26 3-10 5-7 7-8 7-9 8-23 8-24 10-25 19-23 22-30 26-27 27-28 28-29 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22 exact/norm bonds : 3-10 7-8 7-9 8-23 28-29 exact bonds : 5-7 8-24 10-25 19-23 22-30 26-27 1-2 1-6 1-14 2-3 2-26 3-4 4-5 5-6 27-28 normalized bonds : 11-12 11-16 12-13 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22 isolated ring systems : containing 1 : 11 : 17 :

#### Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS

#### L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 14:37:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:37:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
161.33 161.54

FILE 'CAPLUS' ENTERED AT 14:37:24 ON 29 JUN 2005
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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 1 L3

=> d l4 ibib hitstr abs

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

USA

ACCESSION NUMBER:

2004:252228 CAPLUS

DOCUMENT NUMBER:

140:287266

TITLE:

Preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative

disorders.

INVENTOR(S):

Schreiber, Stuart L.; Stavenger, Robert A.; Mitchison,

Timothy J.; Maliga, Zoltan

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 115 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004059138	A1	20040325	US 2003-649532		20030827
PRIORITY APPLN. INFO.:			US 2002-406140P	P	20020827

P OTHER SOURCE(S):

MARPAT 140:287266

675139-93-2P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative disorders)

675139-93-2 CAPLUS RN

2H-Pyran-6-carboxamide, 2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-CN (3-hydroxypropyl)-4-phenyl-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

$$R^{10}$$
  $O$   $CONR^{5}R^{6}$   $R^{2}$   $R^{4}$   $I$ 

Title compds. [I; R1-R6 = H, (substituted) aliphatyl, heteroaliphatyl, AB aryl, heteroaryl, alkylaryl, alkylheteroaryl; R5R6 = atoms to form cyclic aliphatyl, heteroaliphatyl, aliphatylaryl, heteroaliphatylaryl,

ΙI

aliphatylheteroaryl, heteroaliphatylheteroaryl, aryl, heteroaryl], were prepared A library of 4320 dihydropyrancarboxamides was prepared; claimed title compound (II) was shown to be inhibitory against Eg5 kinesin. Solid support synthesis and decoding methodolgy is described.

•	
SINCE FILE	TOTAL
ENTRY	SESSION
10.34	171.88
SINCE FILE	TOTAL
ENTRY	SESSION
-0.73	-0.73
	ENTRY 10.34 SINCE FILE ENTRY

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STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8 DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\106495321.str

chain nodes : 7 8 9 10 ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16

chain bonds :

1-14 3-10 5-7 7-8 7-9

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

exact/norm bonds : 3-10 7-8 7-9

exact bonds :

1-2 1-6 1-14 2-3 3-4 4-5 5-6 5-7

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

### L5 STRUCTURE UPLOADED

=> s 15

SAMPLE SEARCH INITIATED 14:44:47 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

6 TO 266

PROJECTED ITERATIONS: 0 TO PROJECTED ANSWERS:

0 SEA SSS SAM L5 L6

=> s 15 ful

FULL SEARCH INITIATED 14:44:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 163 TO ITERATE

100.0% PROCESSED 163 ITERATIONS 28 ANSWERS

SEARCH TIME: 00.00.01

L7 28 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
161.33 333.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 4 L7

=> d 18 ibib hitstr abs 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:252228 CAPLUS

DOCUMENT NUMBER:

140:287266

TITLE:

Preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative

disorders.

INVENTOR(S):

Schreiber, Stuart L.; Stavenger, Robert A.; Mitchison,

Timothy J.; Maliga, Zoltan

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 115 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	2002002
US 2004059138	A1	20040325	US 2003-649532		20030827
PRIORITY APPLN. INFO.:			US 2002-406140P	P	20020827
OTHER SOURCE(S):	MARPAT	140:287266			

675139-86-3P 675139-87-4P 675139-93-2P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative disorders)

675139-86-3 CAPLUS RN

2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy) ethoxy] ethyl] -N-[2-(5-methoxy-1H-indol-3-yl) ethyl] -, (2S, 3R, 4R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

675139-87-4 CAPLUS RN

2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-(3-CN hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (25,35,4R)- (9CI) (CA INDEX NAME)

RN 675139-93-2 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-(3-hydroxypropyl)-4-phenyl-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

$$R^{10}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{0}$ 
 $R^{0}$ 

AB Title compds. [I; R1-R6 = H, (substituted) aliphatyl, heteroaliphatyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl; R5R6 = atoms to form cyclic aliphatyl, heteroaliphatyl, aliphatylaryl, heteroaliphatylaryl, aliphatylheteroaryl, heteroaryl, were

ΙI

prepared A library of 4320 dihydropyrancarboxamides was prepared; claimed title compound (II) was shown to be inhibitory against Eg5 kinesin. Solid support synthesis and decoding methodolgy is described.

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:112577 CAPLUS

DOCUMENT NUMBER: 136:150765

TITLE: Decoding products of diversity pathways from stock

solutions derived from single polymeric macrobeads

AUTHOR(S): Blackwell, Helen E.; Perez, Lucy; Schreiber, Stuart L.

CORPORATE SOURCE: Howard Hughes Medical Institute, Harvard Institute of

Chemistry and Cell Biology, Harvard University,

Cambridge, MA, 02138, USA

SOURCE: Angewandte Chemie, International Edition (2001),

40(18), 3421-3425

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

IT 394252-96-1P 394253-07-7P 394253-10-2P 394253-11-3P 394253-12-4P 394253-25-9P

394253-26-0P 394253-35-1P 394253-42-0P

394253-49-7P 394253-50-0P 394253-58-8P

394253-60-2P 394253-61-3P 394253-64-6P 394253-68-0P 394253-76-0P 395072-36-3P

395072-37-4P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(chloroarom. diazoketone tags and stock solns. in preparation and decoding and deconvolution of combinatorial libraries on macrobeads and use in preparation of nonracemic dihydropyrancarboxamide combinatorial library)

RN 394252-96-1 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-2-ethoxy-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-, (2R,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-07-7 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-

, (2R,4R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-10-2 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(2-aminophenyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2R,4R)-(9CL) (CA INDEX NAME)

Absolute stereochemistry.

MeO

OH

NH2

HN

NH2

HN

NH2

RN 394253-11-3 CAPLUS

CN 2H-Pyran-6-carboxamide, 3,4-dihydro-2-(4-hydroxybutoxy)-N-(2-hydroxyphenyl)-4-phenyl-, (2R,4R)- (9CI) (CA INDEX NAME)

10/649,532.

RN 394253-12-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-N-(2-hydroxyphenyl)-3-(3-hydroxypropyl)-, (2R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-25-9 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-26-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-(2-hydroxyethoxy)ethoxy]-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2R,4R)-(9CI) (CA INDEX NAME)

RN 394253-35-1 CAPLUS

CN Benzoic acid, 4-[(2S,4S)-3,4-dihydro-2-[[4-(hydroxymethyl)phenyl]methoxy]-6-[[(phenylmethyl)amino]carbonyl]-2H-pyran-4-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-42-0 CAPLUS

CN Benzoic acid, 4-[(2S,4S)-6-[(cyclohexylmethylamino)carbonyl]-3,4-dihydro-2-(4-hydroxybutoxy)-2H-pyran-4-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 394253-49-7 CAPLUS

CN 2H-Pyran-6-carboxamide, N-[4-(5-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-methylphenyl]-3,4-dihydro-2-[2-(2-hydroxyethoxy)ethoxy]-4-phenyl-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-50-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-N-[4-(5-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-methylphenyl]-2-ethoxy-3,4-dihydro-3-(3-hydroxypropyl)-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

RN 394253-58-8 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-4-(1,3-benzodioxol-5-yl)-2-ethoxy-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-60-2 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(2-aminophenyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[[4-(hydroxymethyl)phenyl]methoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 394253-61-3 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-(2-hydroxyphenyl)-, (2S,3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-64-6 CAPLUS

CN 2H-Pyran-6-carboxamide, N-[5-[(2-aminophenyl)amino]-5-oxopentyl]-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 394253-68-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-(3-hydroxypropyl)-, (2S,3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394253-76-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 395072-36-3 CAPLUS

CN 2H-Pyran-6-carboxamide, N-bicyclo[2.2.1]hept-2-yl-3,4-dihydro-2-[[4-

(hydroxymethyl)phenyl]methoxy]-4-phenyl-, (2S,4S)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 395072-37-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-N-bicyclo[2.2.1]hept-2-yl-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

06 ga

$$\bigcap_{N_2} \bigcap_{O \text{ one } Cl} \bigcap_{R} Cl$$

A combinatorial library of nonracemic dihydropyrancarboxamides such as I AB[prepared on solid phase by the enantioselective Diels-Alder cycloaddn. of resin-bound vinyl ethers with allyl  $\beta$ , $\gamma$ -unsatd.- $\alpha$ ketoesters in the presence of nonracemic bisoxazoline ligands and copper (II) triflate] using a novel tagging technique for the labeling and identification of members of combinatorial libraries. Chloroarom. diazoketones II (n = 1, 7, 14; R = H, Cl) were used as tagging agents to identify the sequence of reactions to which a resin bead had been subjected; treatment of a resin bead with II in the presence of dirhodium tetrakis(triphenylacetate) yielded a polystyrene resin containing a fraction of chloroaralkyl cycloheptatriene moieties (formed by ring expansion of the polystyrene Ph groups). Oxidative cleavage of the tags with ceric ammonium nitrate liberated the chloroarom. portion of the tags; treatment of the tags with N,O-bis(trimethylsilyl)acetamide and gas chromatog. yielded masses corresponding to the sequence of reactions to which beads were subjected and thus their identities. The tags could be decoded either directly from a bead before compound cleavage, from a bead after compound cleavage, or from compound stock solns. (generated by compound

ΙI

Ι

cleavage

and dissoln. of a fraction of the liberated compds. in THF/H2O). Decoding compound stock solns. was the most effective method of identifying library members; compds. were identified by tag cleavage of solns. containing 1 or 5% of the compound cleaved from a single bead. Stock solns. were decoded most effectively because a fraction of the library member on a given bead was tagged with the chloroarom. diazoketone in addition to the polystyrene resin (due to the high-loading resin used) and because oxidative cleavage of the tags with CAN proceeded more readily in solution than on solid support. A sublibrary of 108 beads chosen from the larger combinatorial library was decoded by this procedure; of the 108 compds., 107 were successfully decoded. Four different synthetic pathways were found to be compatible with the diazoketone tagging methodol. (no data). The use of stock solns. for the decoding and deconvolution of combinatorial libraries is amenable

to robotic methods for combinatorial library synthesis and testing, minimizes the storage requirements for combinatorial libraries, and allows for simpler and faster compound identification.

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:2523 CAPLUS

DOCUMENT NUMBER:

137:93369

TITLE:

A one-bead, one-stock solution approach to chemical

genetics: part 2

AUTHOR (S):

Clemons, Paul A.; Koehler, Angela N.; Wagner, Bridget

K.; Sprigings, Timothy G.; Spring, David R.; King, Randall W.; Schreiber, Stuart L.; Foley, Michael A.

CORPORATE SOURCE:

Howard Hughes Medical Institute at Harvard University,

Cambridge, MA, 02138, USA

SOURCE:

Chemistry & Biology (2001), 8(12), 1183-1195

CODEN: CBOLE2; ISSN: 1074-5521

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE: LANGUAGE: Journal English

IT 438625-00-4P 438625-04-8P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(bead arraying, processing, and assaying in one-bead, one-stock solution

approach to chemical genetics)

RN 438625-00-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{H} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{HO-CH}_2-\text{CH}_2-\text{O-CH}_2-\text{CH}_2-\text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{CH}_2 \\ \text$$

RN 438625-04-8 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{EtO} & O & C & \text{NH-} \text{CH}_2\text{--} \text{CH}_2\text{--} \text{NH-} \\ \text{HO--} (\text{CH}_2)_3 & & & & & \\ \end{array}$$

Background: Chemical genetics provides a systematic means to study biol. AB using small mols. to effect spatial and temporal control over protein function. As complementary approaches, phenotypic and proteomic screens of structurally diverse and complex small mols. may yield not only interesting individual probes of biol. function, but also global information about small mol. collections and the interactions of their members with biol. systems. Results: We report a general high-throughput method for converting high-capacity beads into arrayed stock solns. amenable to both phenotypic and proteomic assays. Polystyrene beads from diversity-oriented syntheses were arrayed individually into wells. Bound compds. were cleaved, eluted, and resuspended to generate 'mother plates' of stock solns. The second phase of development of our technol. platform includes optimized cleavage and elution conditions, a novel bead arraying method, and robotic distribution of stock solns. of small mols. into 'daughter plates' for direct use in chemical genetic assays. This library formatting strategy enables what we refer to as annotation screening, in which every member of a library is annotated with biol. assay data. This phase was validated by arraying and screening 708 members of an encoded 4320-member library of structurally diverse and complex dihydropyrancarboxamides. Conclusions: Our 'one-bead, multiple-stock solution' library formatting strategy is a central element of a technol. platform aimed at advancing chemical genetics. Annotation screening provides a means for biol. to inform chemical, complementary to the way that chemical

can

inform biol. in conventional ('investigator-initiated') small mol.

screens.

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:497822 CAPLUS

DOCUMENT NUMBER:

1999:497822 CAP 131:322661

TITLE:

Hetero-Diels-Alder reactions of  $\alpha$ -carbonylated styrylphosphonates with enol ethers. High-pressure influence on reactivity and diastereoselectivity Al-Badri, Hashim; Maddaluno, Jacques; Masson, Serge;

AUTHOR (S):

Collignon, Noel

CORPORATE SOURCE:

Laboratoire d'Heterochimie Organique, INSA de Rouen, UPRES-A 6014 CNRS, l'IRCOF, Mont-Saint-Aignan, 76131,

Fr.

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Journal of the Chemical Society, Perkin Transactions

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248603-07-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 248603-04-5 CAPLUS

CN Phosphonic acid, [(2R,4R)-6-[(diethylamino)carbonyl]-2-ethoxy-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 248603-05-6 CAPLUS

CN Phosphonic acid, [(2R,4S)-6-[(diethylamino)carbonyl]-2-ethoxy-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 248603-06-7 CAPLUS

Phosphonic acid, [(2R,4R)-6-[(diethylamino)carbonyl]-2-(1,1-dimethylethoxy)-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyleter, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 248603-07-8 CAPLUS

CN Phosphonic acid, [(2R,4S)-6-[(diethylamino)carbonyl]-2-(1,1-dimethylethoxy)-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethylester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

Ι

Variously substituted  $\alpha$ -carbonylated styrylphosphonates were easily prepared by Knoevenagel-type syntheses, used as oxadienes in hetero-Diels-Alder [4 + 2] cycloaddns. with enol ethers, to give new phosphonylated 3,4-dihydro-2H-pyrans 6, e.g. I. It was confirmed that the reactivity , as well as the trans-diastereoselectivity of the reaction, was significantly enhanced by the use of high-pressure conditions, particularly in the presence of ButOH as a co-solvent. Moreover, a

one-pot synthesis of 6 via a tandem-sequence Knoevenagel and hetero-Diels-Alder reactions was achieved.

REFERENCE COUNT:

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